

10/549,510

STOK-Structure Search  
1/18/08

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L4 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:556242 CAPLUS

DOCUMENT NUMBER: 147:166161

TITLE: Regioselective synthesis of pyridines and dihydropyridines derived from  $\beta$ -amino acids and aminophosphonates by reaction of N-vinyl phosphazenes with  $\alpha,\beta$ -unsaturated ketones

AUTHOR(S): Palacios, Francisco; Herran, Esther; Rubiales, Gloria; Alonso, Concepcion

CORPORATE SOURCE: Departamento de Quimica Organica I, Facultad de Farmacia, Universidad del Pais Vasco, Vitoria, 01080, Spain

SOURCE: Tetrahedron (2007), 63(25), 5669-5676

CODEN: TETRAB; ISSN: 0040-4020

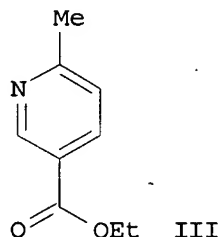
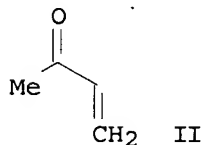
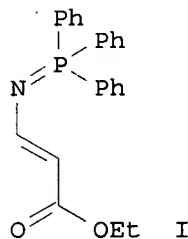
PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:166161

GI



AB Reaction of N-vinyl phosphazenes, e.g. I, with  $\alpha,\beta$ -unsatd. ketones, e.g. II, leads to the formation of pyridines derived from  $\beta$ -amino acids, e.g. III, in a regioselective fashion. The use of functionalized enones derived from  $\alpha$ -acylstyryl-carboxylates or -phosphonates affords biol. active asym. and sym. dihydropyridines substituted with carboxylate or phosphonate groups including nitrendipine, felodipine, MRS 1097, and efonidipine analogs.

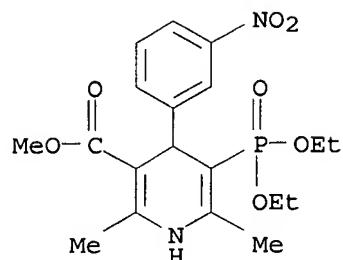
IT 98399-10-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of pyridine and dihydropyridine derived from  $\beta$ -amino acids and aminophosphonates via regioselective heterocyclization of vinyl phosphazenes with  $\alpha,\beta$ -unsatd. ketones)

RN 98399-10-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)

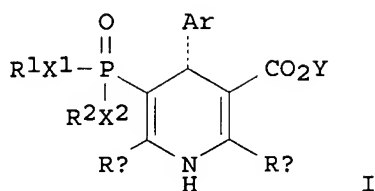


REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

*Interp 2*  
 L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:857416 CAPLUS  
 DOCUMENT NUMBER: 141:343535  
 TITLE: T-type calcium channel blockers  
 INVENTOR(S): Masuda, Yukinori; Furukawa, Taiji  
 PATENT ASSIGNEE(S): Nissan Chemical Industries Ltd., Japan  
 SOURCE: PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087172	A1	20041014	WO 2004-JP4432	20040329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004226547	A1	20041014	AU 2004-226547	20040329
CA 2520628	A1	20041014	CA 2004-2520628	20040329
EP 1609504	A1	20051228	EP 2004-724154	20040329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1764462	A	20060426	CN 2004-80008085	20040329
US 2007010490	A1	20070111	US 2005-549510	20050920
IN 2005DN04249	A	20070831	IN 2005-DN4249	20050920
NO 2005005015	A	20051115	NO 2005-5015	20051027
PRIORITY APPLN. INFO.:			JP 2003-90916	A 20030328
			JP 2003-393893	A 20031125
			WO 2004-JP4432	W 20040329

OTHER SOURCE(S): MARPAT 141:343535  
 GI



AB T-Type calcium channel blockers consisting of optically active 1,4-dihydropyridines represented by the general formula (I), pharmaceutically acceptable salts thereof, or solvates of both: I wherein R1 and R2 are each independently C1-6 alkyl, or R1 and R2 are united to form -CR5R6-CR7R8-, -CR5R6-CR7R8-CR9R10-, -CR5R6-CR7R8-CR9R10-CR11R12-, or the like; X1 and X2 are each independently O or NR13; Ar is optionally substituted Ph or the like; Ra and Rb are each independently C1-6 alkyl, -L2-NR16R17, CH2O-L2-NR16R17, CN, -L2-N(CH2CH2)2NR16, NR16R17, or the like; Y is C1-20 alkyl, -L3-NR18R19, (2) (3) (4) (5) or (6) and \* represents R-configuration.

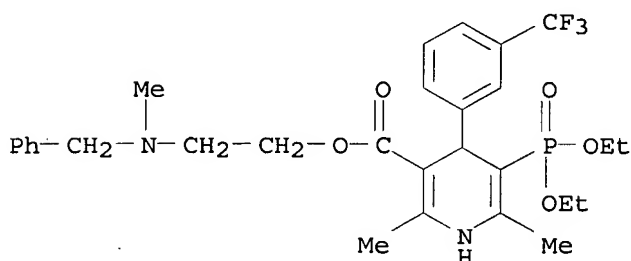
IT 98371-13-2 774235-87-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(1,4-dihydropyridines as T-type calcium channel blockers for treatment of related diseases)

RN 98371-13-2 CAPLUS

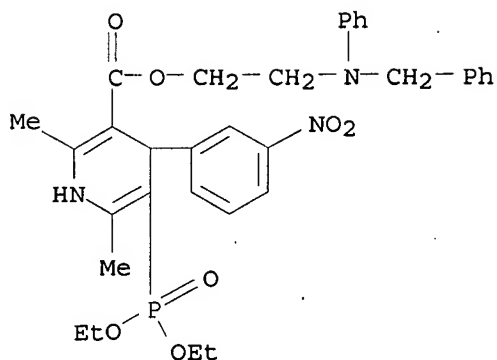
CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-[3-(trifluoromethyl)phenyl]-, 2-[methyl(phenylmethyl)amino]ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 774235-87-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[phenyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 . ANSWER 3 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:436962 CAPLUS

DOCUMENT NUMBER: 133:275838

TITLE: In search of selective P2 receptor ligands: interaction of dihydropyridine derivatives at recombinant rat P2X2 receptors

AUTHOR(S): Jacobson, K. A.; Kim, Y.-C.; King, B. F.

CORPORATE SOURCE: Laboratory of Bioorganic Chemistry, Molecular Recognition Section, NIH, National Institute of Diabetes and Digestive and Kidney Diseases, Bethesda, MD, 20892-0810, USA

SOURCE: Journal of the Autonomic Nervous System (2000), 81(1-3), 152-157

CODEN: JASYDS; ISSN: 0165-1838

PUBLISHER: Elsevier Science B.V.

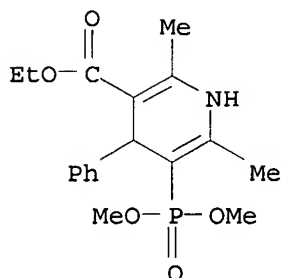
DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1,4-Dihydropyridines are regarded as privileged structures for drug design, i.e. they tend to bind to a wide variety of receptor sites. We have shown that upon appropriate manipulation of the substituent groups on a 1,4-dihydropyridine template, high affinity and selectivity for the A3 subtype of adenosine receptors ('P1 receptors') may be attained. In the present study we have begun to extend this approach to P2 receptors which are activated by ATP and other nucleotides. Nicardipine, a representative dihydropyridine, used otherwise as an L-type calcium channel blocker, was shown to be an antagonist at recombinant rat P2X2 (IC<sub>50</sub>=25 μM) and P2X4 (IC<sub>50</sub> .apprx.220 μM) receptors expressed in *Xenopus* oocytes. Thus, this class of compds. represents a suitable lead for enhancement of affinity through chemical synthesis. In an attempt to modify the 1,4-dihydropyridine structure with a predicted P2 receptor recognition moiety, we have replaced one of the ester groups with a neg. charged phosphonate group. Several 4-phenyl-5-phosphonato-1,4-dihydropyridine derivs., MRS 2154 (2,6-dimethyl), MRS 2155 (6-methyl-2-phenyl), and MRS 2156 (2-methyl-6-phenyl), were synthesized through three component condensation reactions. These derivs. were not pure antagonists of the effects of ATP at P2X2 receptors, rather were either inactive (MRS 2156) or potentiated the effects of ATP in a concentration-dependent manner (MRS 2154 in the 0.3-10 μM range and MRS 2155 at 0.1 μM). Antagonism of the effects of ATP at P2X2 receptor superimposed on the potentiation was also observed at 10 μM (MRS 2154) or 0.3-1 μM (MRS 2155). Thus, while a conventional dihydropyridine, nicardipine, was found to antagonize rat P2X2 receptors ninefold more potently than P2X4 receptors, the effects of novel, anionic 5-phosphonate analogs at the receptor were more complex.

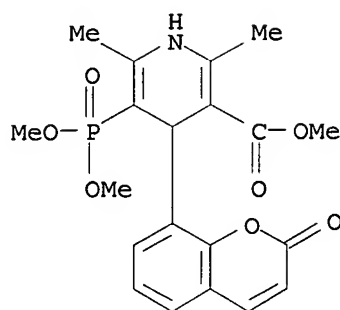
10/549,510

IT 300344-20-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of dihydropyridine derivs. and interaction at recombinant rat  
P2X2 receptors)  
RN 300344-20-1 CAPLUS  
CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-  
dimethyl-4-phenyl-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:487576 CAPLUS  
DOCUMENT NUMBER: 129:216495  
TITLE: (Coumarinyl)-1,4-dihydropyridine derivatives  
AUTHOR(S): Valenti, P.; Rampa, A.; Budriesi, R.; Bisi, A.;  
Chiarini, A.  
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of  
Bologna, Bologna, 40126, Italy  
SOURCE: Bioorganic & Medicinal Chemistry (1998), 6(6), 803-810  
CODEN: BMECEP; ISSN: 0968-0896  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB A series of 1,4-dihydropyridines bearing a coumarin moiety in 4-position  
was synthesized. The compds. were evaluated for inotropic, chronotropic  
and calcium antagonist activities. The replacement of the o-nitrophenyl  
moiety of nifedipine with a coumarin or phenylcoumarin system is  
accompanied by a decrease of the activity on myocardial and vascular  
parameters, but the synthesized compds. showed selective inhibiting  
effects on cardiac contractility and frequency.  
IT 212516-06-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(preparation and inotropic, chronotropic and calcium antagonistic activity  
of (coumarinyl)dihydropyridine derivs.)  
RN 212516-06-8 CAPLUS  
CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-  
dimethyl-4-(2-oxo-2H-1-benzopyran-8-yl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:272234 CAPLUS

DOCUMENT NUMBER: 128:321541

TITLE: Novel Hantzsch 1,4-dihydropyridines to study the structure-function relationships of calcium channels and photoinduced relaxation

AUTHOR(S): Iqbal, Nadeem; Triggle, Christopher R.; Knaus, Edward E.

CORPORATE SOURCE: Faculty of Pharmacy and Pharmaceutical Sciences, University of Alberta, Edmonton, AB, T6G 2N8, Can.

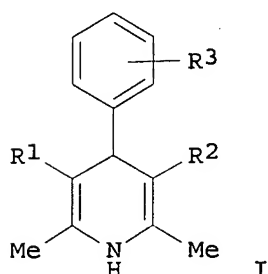
SOURCE: Drug Development Research (1997), 42(3/4), 120-130  
CODEN: DDREDK; ISSN: 0272-4391

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A group of Me 1,4-dihydro-2,6-dimethyl-4-(2-, 3- or 4-NHOH; 3- or 4-N:O)-phenyl-5-pyridinecarboxylates possessing a C-3 CO<sub>2</sub>Me or NO<sub>2</sub> substituent, I (R<sub>1</sub> = CO<sub>2</sub>Me, R<sub>2</sub> = CO<sub>2</sub>Me, NO<sub>2</sub>, R<sub>3</sub> = 2-, 3-, 4-NHOH, 3-, 4-N:O), were synthesized by reduction of the C-4 nitrophenyl precursors to the corresponding phenylhydroxylamine derivs. using 5% rhodium-on-charcoal with hydrazine hydrate as the hydrogen donor, followed by re-oxidation of the phenylhydroxylamine product to the corresponding nitrosophenyl derivative using pyridinium chlorochromate. A series of 1,4-dihydro-2,6-dimethyl-4-[(2-trifluoromethyl)phenyl]pyridines I [R<sub>1</sub> = CO<sub>2</sub>Me, cyano, NO<sub>2</sub>, R<sub>2</sub> = CO<sub>2</sub>Me, COMe, P(O)OEt<sub>2</sub>, CONH<sub>2</sub>, NH<sub>2</sub>, R<sub>3</sub> = 2-CF<sub>3</sub>, 2-NO<sub>2</sub>], possessing CO<sub>2</sub>Me, COMe, CONH<sub>2</sub>, P(O)OEt<sub>2</sub>, CN, NO<sub>2</sub> C-3/C-5 substituents, were synthesized using a modified Hantzsch reaction involving the condensation of 2-(trifluoromethyl)benzaldehyde with an aminocrotonate and a ketone derivative. In vitro calcium channel (CC) activities were determined using a muscarinic-receptor-mediated Ca<sup>2+</sup>-dependent contraction of guinea pig

ileal longitudinal smooth muscle assay. This class of compds. exhibited weak CC antagonist activity [10<sup>-4</sup> to 10<sup>-7</sup> M range] relative to the reference drug nifedipine [IC<sub>50</sub> = 1.4 × 10<sup>-8</sup> M]. Structure-activity relationships [SARs] acquired were in agreement with known SARs where the relative potency order for C-4 Ph substituents is ortho and meta > para. A C-3 nitro substituent decreased CC antagonist activity. Compds. I possessing C-3 cyano or NO<sub>2</sub>, and a C-5 CO<sub>2</sub>Me, NO<sub>2</sub>, CONH<sub>2</sub>, COMe, or P(=O)OEt<sub>2</sub>, substituents exhibited weak CC antagonist activity in the 10<sup>-4</sup> to 10<sup>-5</sup> M range. Although this group of highly functionalized 1,4-dihydropyridines are not useful CC antagonists, they will serve as valuable model compds. to study the structure-function relationships of CC modulation.

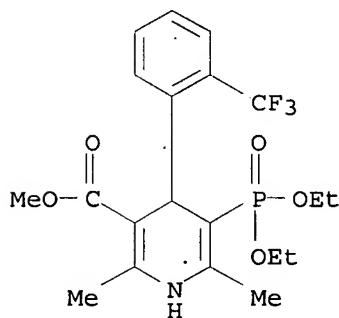
IT 98399-11-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, calcium channel antagonistic activity, and structure activity of Hantzsch pyridines)

RN 98399-11-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-[2-(trifluoromethyl)phenyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:226525 CAPLUS

DOCUMENT NUMBER: 128:282763

TITLE: Design and synthesis of haptens for application to the preparation of chiral 1,4-dihydropyridines

AUTHOR(S): Ikeda, Kiyoshi; Kato, Tatsuhisa; Suzuki, Takehisa; Achiwa, Kazuo

CORPORATE SOURCE: School of Pharmaceutical Sciences, University of Shizuoka, Shizuoka, 422, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(3), 518-522

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

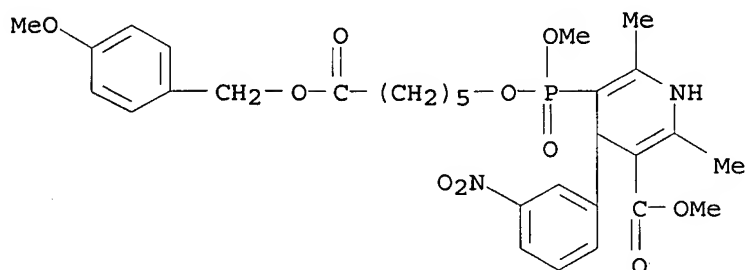
LANGUAGE: English

OTHER SOURCE(S): CASREACT 128:282763

AB Lipase-catalyzed enzymic hydrolysis of di-Me esters of 1,4-dihydropyridines to the monoester, which is an important intermediate for the synthesis of optically active 1,4-dihydropyridines, does not proceed directly. The design and synthesis of novel haptens having a phosphonate group containing the requisite oxyanionic character to mimic the tetrahedral intermediate of hydrolysis, and the application of these compds. for generating antibodies with catalytic ability for the enantioselective partial hydrolysis of 1,4-dihydro-2,6-dimethyl-4-(3-

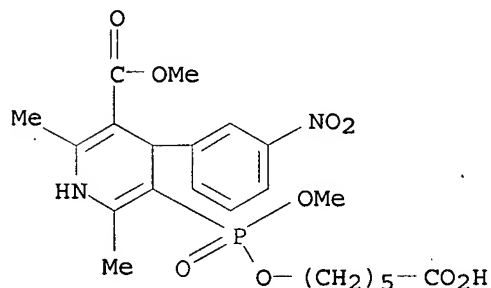
10/549,510

CN 3-Pyridinecarboxylic acid, 1,4-dihydro-5-[methoxy[[6-[(4-methoxyphenyl)methoxy]-6-oxohexyl]oxy]phosphinyl]-2,6-dimethyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)



RN 205752-56-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[[[(5-carboxypentyl)oxy]methoxyphosphinyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 3-methyl ester (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:320271 CAPLUS

DOCUMENT NUMBER: 125:48354

TITLE: Structure-activity relationship studies of xanthone and fluorenone-1,4-dihydropyridine-5-phosphonates

AUTHOR(S): Budriesi, Roberta; Rampa, Angela; Bisi, Alessandra; Fabbri, Giuseppina; Chiarini, Alberto; Valenti, Piero

CORPORATE SOURCE: Dep. Pharmaceutical Sci., Univ. Bologna, Italy

SOURCE: Arzneimittel-Forschung (1996), 46(4), 374-377

CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Cantor

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of xanthone and fluorenone-1,4-dihydropyridine derivs. bearing a 5-phosphonate group were prepared The compds. were evaluated for inotropic, chronotropic and calcium antagonistic properties. The insertion of a phosphonate group is detrimental for inotropic and calcium antagonist activity but improves the potency and selectivity for chronotropism.

IT 178113-17-2P 178113-18-3P 178113-19-4P

178113-20-7P 178113-21-8P 178113-27-4P

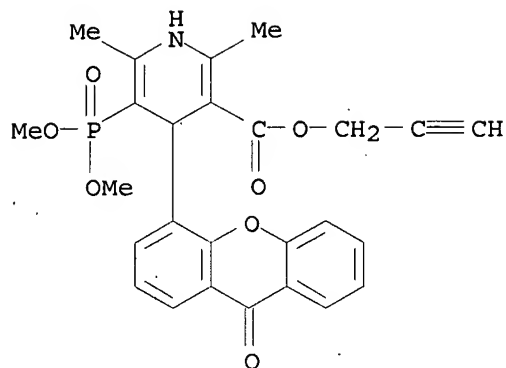
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity relationship studies of xanthone- and fluorenone-dihydropyridine phosphonates)

RN 178113-17-2 CAPLUS

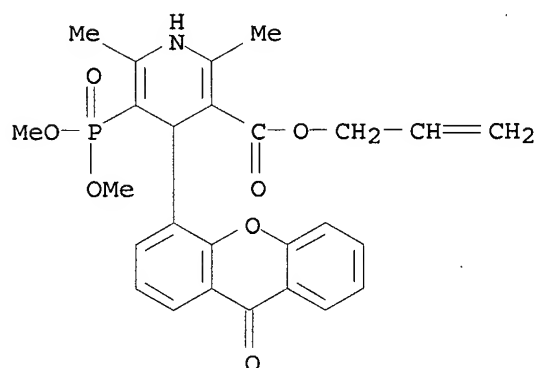


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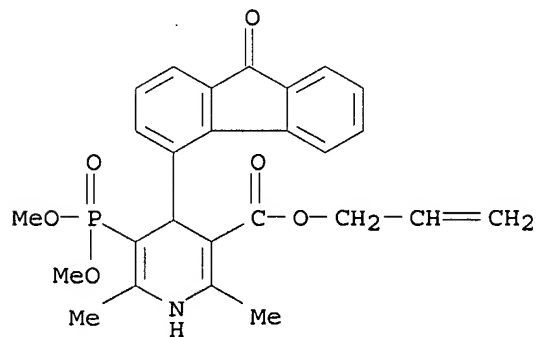
RN 178113-21-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(9-oxo-9H-xanthen-4-yl)-, 2-propenyl ester (9CI) (CA INDEX NAME)



RN 178113-27-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(9-oxo-9H-fluoren-4-yl)-, 2-propenyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:30121 CAPLUS

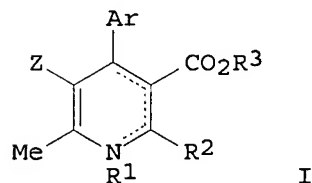
DOCUMENT NUMBER: 114:30121

TITLE: Drug effect-enhancing agent for antitumor drug

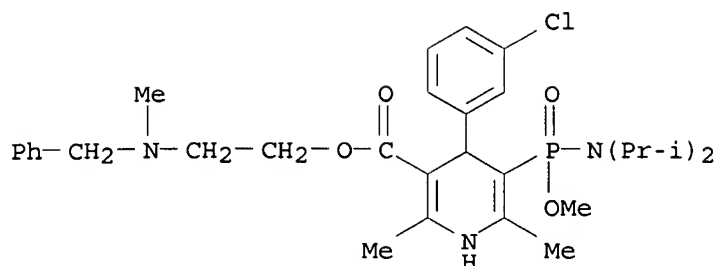
10/549,510

INVENTOR(S): Akiyama, Shinichi; Sakoda, Ryoza; Seto, Kiyotomo;  
Shudo, Norimasa  
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan  
SOURCE: Eur. Pat. Appl., 40 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 353692	A2	19900207	EP 1989-114113	19890731
EP 353692	A3	19910508		
EP 353692	B1	19951004		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 02138221	A	19900528	JP 1989-168549	19890630
JP 2850376	B2	19990127		
CA 1334752	C	19950314	CA 1989-607026	19890731
EP 655455	A1	19950531	EP 1995-101310	19890731
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 128623	T	19951015	AT 1989-114113	19890731
US 5130303	A	19920714	US 1991-729904	19910715
US 5304550	A	19940419	US 1993-57902	19930507
US 5508403	A	19960416	US 1995-463511	19950605
PRIORITY APPLN. INFO.:			JP 1988-193002	A 19880802
			JP 1989-168549	A 19890630
			US 1989-386254	B1 19890728
			EP 1989-114113	A3 19890731
			US 1991-729904	A3 19910715
			US 1992-865489	A3 19920409
OTHER SOURCE(S):		CASREACT 114:30121; MARPAT 114:30121		
GI				

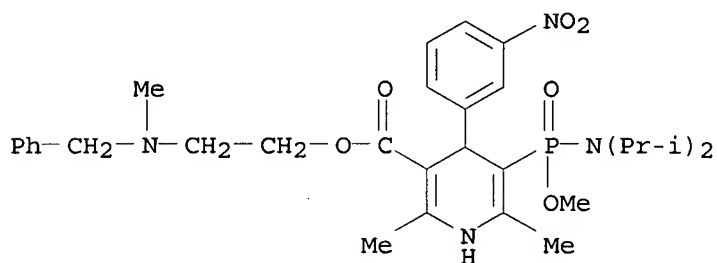


AB Pyridine derivs. I [Ar = (un)substituted Ph, pyridyl, furyl, 2,1,3-benzoxadiazol-4-yl; R1 = C1-4 alkyl, CH2Ph, substituted alkylene; R2 = C1-4 alkyl, CHO, CN, CH2OH, NH2, etc.; R3 = H, C1-12 alkyl, C3-6 alkenyl or cycloalkyl, aminoalkyl, benzylpiperidiny, etc.; Z = P(O)R4R5, CO2R3; R4, R5 = OH, C1-12 alkoxy, aryloxy, etc., or R4R5 = OYO, NHYO, NHYNH, etc.; Y = (substituted) C2-4 alkylene] enhance the effects of antitumor drugs on cancer cells and suppress the drug resistance of the cancer cells. Thus, taking the resistance of KB-3-1 human carcinoma cells to vincristine as 1, the relative resistance of the multidrug-resistant KB-C1 variant of KB-3-1 cells was 1200, and the relative resistance of KB-3-1 and KB-C1 cells to vincristine in the presence of 10 µg I [1,4-dihydropyridine ring, Ar = m-nitrophenyl, R1 = H, R2 = Me, R3 = 2-(4-diphenylmethyl-1-piperazinyl)ethyl, Z = P(O)R4R5, R4R5 = OCHMeCH2CHMeO] (II) was 0.1 and 1.0, resp. A mixture of II-HCl 30, adriamycin 7.5, and Macrogol 400 130 g was combined with a coating solution of gelatin 93, glycerol 19, D-sorbitol 10, Et p-hydroxybenzoate 0.4, Pr



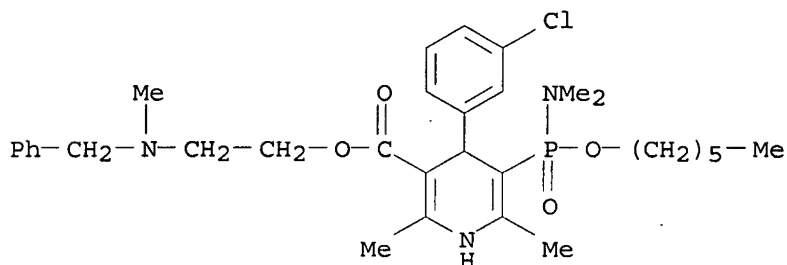
RN 131332-67-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[[bis(1-methylethyl)amino]methoxyphosphinyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



RN 131332-75-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(3-chlorophenyl)-5-[(dimethylamino)(hexyloxy)phosphinyl]-1,4-dihydro-2,6-dimethyl-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



L4 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:544853 CAPLUS

DOCUMENT NUMBER: 113:144853

TITLE: Two pyridine analogs with more effective ability to reverse multidrug resistance and with lower calcium channel blocking activity than their dihydropyridine counterparts

AUTHOR(S): Shudo, Norimasa; Mizoguchi, Tetsuro; Kiyosue, Tatsuto; Arita, Makoto; Yoshimura, Akihiko; Seto, Kiyotomo; Sakoda, Ryo; Akiyama, Shinichi

CORPORATE SOURCE: Fac. Med., Kagoshima Univ., Kagoshima, 890, Japan  
SOURCE: Cancer Research (1990), 50(10), 3055-61

CODEN: CNREA8; ISSN: 0008-5472

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Four pyridine analogs and their dihydropyridine counterparts were examined for their ability to reverse drug resistance in a multidrug-resistant human carcinoma cell line, KB-C2. Two pyridine analogs were more able to reverse drug resistance than their dihydropyridine counterparts. The other two pyridine analogs had an effect on drug resistance similar to their dihydropyridine counterparts. The calcium channel-blocking activity of all the pyridine analogs was considerably lower than that of the dihydropyridine analogs. Of the pyridine analogs, 2-[4-(diphenylmethyl)-1-piperazinyl]ethyl 5-(trans-4,6-dimethyl-1,3,2-dioxaphosphorinan-2-yl)-2,6-dimethyl-4-(3-nitrophenyl)-3-pyridinecarboxylate P-oxide (PAK-104P) was the most effective in reversing multidrug resistance. PAK-104P (1 and 5  $\mu$ M) completely reversed the drug resistance in KB-8-5 and KB-C2 cells, resp. The reversing effect of PAK-104P was greater than that of other multidrug resistance-reversing agents, cepharanthine, verapamil, nimodipine, and nicardipine. PAK-104P at 1  $\mu$ M increased about 10-fold the accumulation of vinblastine in KB-C2 cells, whereas verapamil at the same concentration increased the accumulation about 2-fold. The inhibition of [3H]azidopine photolabeling of P-glycoprotein by the pyridine and dihydropyridine analogs except 2-[methyl(phenylmethyl)amino]ethyl 4-(2-chlorophenyl)-5-(4-methyl-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-3-pyridinecarboxylate P-oxide correlated with the reversing of drug resistance by the analogs. Some newly synthesized pyridine analogs seemed to have lower calcium channel-blocking activity and more potent resistance-reversing ability than verapamil and other calcium channel blockers.

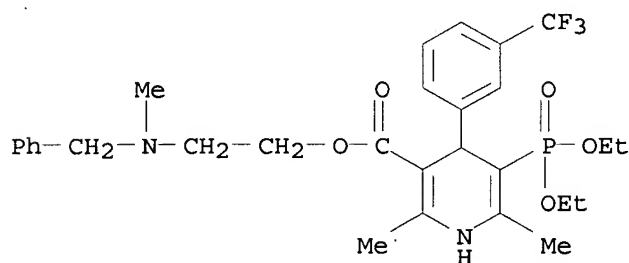
IT 98398-96-0

RL: BIOL (Biological study)

(multidrug resistance reversal by, in neoplasm cells of humans)

RN 98398-96-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-[3-(trifluoromethyl)phenyl]-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



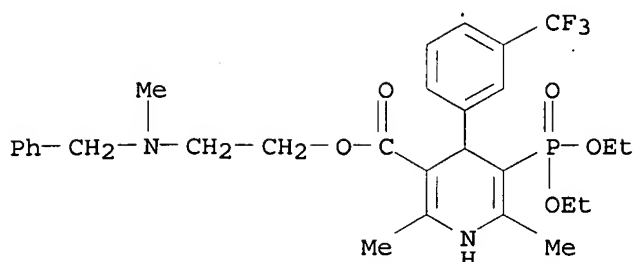
IT 98371-13-2P, PAK 101

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and multidrug resistance-reversing activity of, in human neoplasm cells)

RN 98371-13-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-[3-(trifluoromethyl)phenyl]-, 2-[methyl(phenylmethyl)amino]ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:434417 CAPLUS

DOCUMENT NUMBER: 113:34417

TITLE: Overcoming drug resistance in cancer cells with dihydropyridine analogs

AUTHOR(S): Kamiwatari, Mikio

CORPORATE SOURCE: Fac. Med., Kagoshima Univ., Kagoshima, 890, Japan

SOURCE: Kagoshima Daigaku Igaku Zasshi (1989), 41(3), 225-34  
CODEN: KDIZAA; ISSN: 0368-5063

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB Ten newly synthetic dihydropyridine (DHP) analogs were investigated for their ability to reverse drug resistance in a multidrug-resistant human carcinoma cell line, KB-C1. The resistance was reversed completely by 4 DHP analogs, partially by 3, and little by 3. The radioactive photoactive DHP Ca<sup>2+</sup> channel blocker, [3H]azidopine (I) photolabeled P-glycoprotein (P-GP) in membrane vesicles from KB-C1 cells. This photolabeling was almost completely inhibited by excess DHP analogs that reversed or lowered drug resistance. In contrast, the labeling was not inhibited by analogs that did not reverse the resistance. Among other reversing agents, cepharanthine and reserpine inhibited the [3H]I photolabeling, but thioridazine did not. SDB-ethylenediamine slightly inhibited the labeling at 100  $\mu$ M. Vinblastine also inhibited the labeling. The correlation between the reversing of the drug resistance and the inhibition of [3H]I photolabelling of P-GP by DHP suggests a role for P-GP in multidrug-resistance and also the reversing of the resistance by DHP analogs.

IT 95242-45-8 95242-46-9 113979-05-8  
121912-21-8

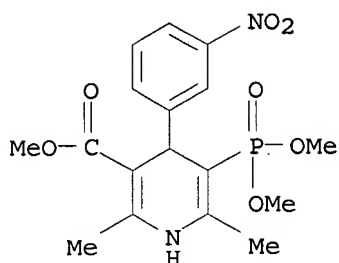
RL: BIOL (Biological study)

(antitumor drug resistance inhibition by, P-glycoproteins in)

RN 95242-45-8 CAPLUS

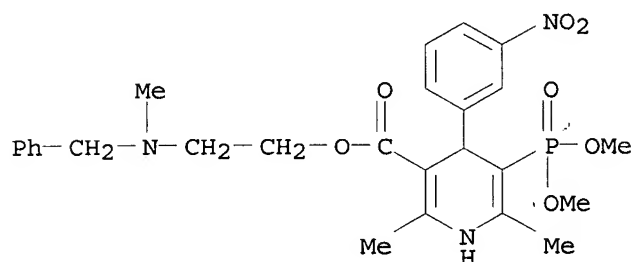
CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)

10/549,510



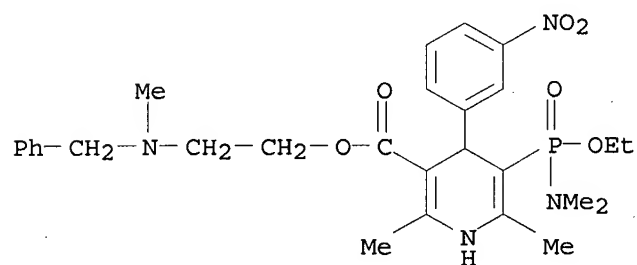
RN 95242-46-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



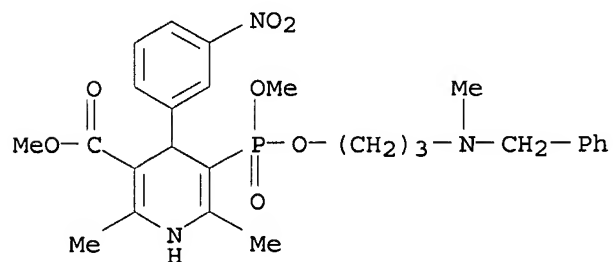
RN 113979-05-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[(dimethylamino)ethoxyphosphinyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



RN 121912-21-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 1,4-dihydro-5-[methoxy[3-[methyl(phenylmethyl)amino]propoxy]phosphinyl]-2,6-dimethyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)



L4 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:470430 CAPLUS

DOCUMENT NUMBER: 111:70430

TITLE: Correlation between reversing of multidrug resistance and inhibiting of [3H]azidopine photolabeling of P-glycoprotein by newly synthesized dihydropyridine analogs in a human cell line

AUTHOR(S): Kamiwatari, Mikio; Nagata, Yukihiro; Kikuchi, Hiroshi; Yoshimura, Akihiko; Sumizawa, Tomoyuki; Shudo, Norimasa; Sakoda, Ryozi; Seto, Kiyotomo; Akiyama, Shinichi

CORPORATE SOURCE: Fac. Med., Kagoshima Univ., Kagoshima, 890, Japan

SOURCE: Cancer Research (1989), 49(12), 3190-5

CODEN: CNREA8; ISSN: 0008-5472

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Ten synthetic dihydropyridine analogs were investigated for their ability to reverse drug resistance in a multidrug-resistant human carcinoma cell line, KB-C1. Four dihydropyridine analogs completely reversed the resistance, 3 lowered the resistance, and 3 had little effect. The radiolabeled photoactive dihydropyridine calcium channel blocker, [3H]azidopine, photolabels P-glycoprotein in membrane vesicles from KB-C1 cells. This photolabeling was almost completely inhibited by excess dihydropyridine analog that reversed or lowered drug resistance. In contrast, the labeling was not inhibited by analogs that do not reverse resistance. Among other reversing agents, cepharanthine and reserpine inhibited the [3H]azidopine photolabeling, but thioridazine did not. N-Solanesyl-N,N'-bis(3,4-dimethoxybenzyl)ethylenediamine slightly inhibited the labeling at 100  $\mu$ M. An anticancer agent, vinblastine, also inhibited the labeling. The correlation between the reversing of the drug resistance and the inhibition of the [3H]azidopine photolabeling of P-glycoprotein by dihydropyridine analogs suggests a role for P-glycoprotein in multidrug resistance and also the reversing of the resistance by dihydropyridine analogs.

IT 95242-45-8, PAK 10 95242-46-9, PAK 6 113979-05-8

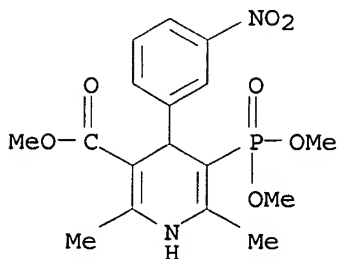
, PAK 1 121912-21-8, PAK 7

RL: BIOL (Biological study)

(neoplasm multidrug resistance-reversing activity of, calcium channel blockade and P glycoprotein in relation to, in human cells)

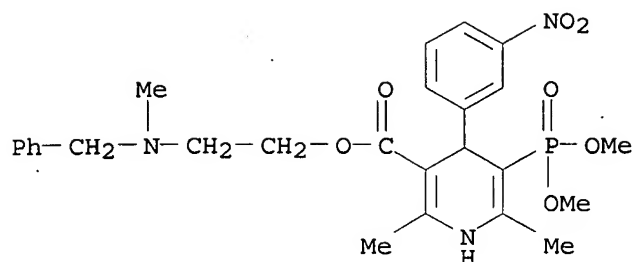
RN 95242-45-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)



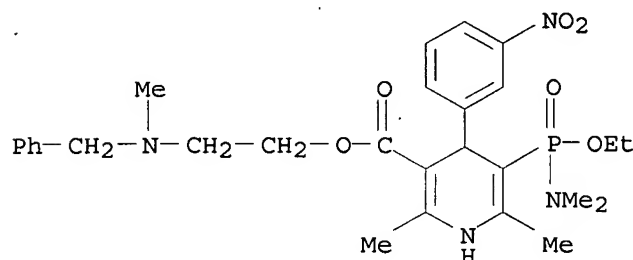
RN 95242-46-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



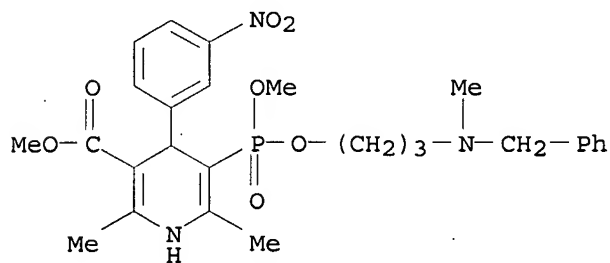
RN 113979-05-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[(dimethylamino)ethoxyphosphinyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



RN 121912-21-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 1,4-dihydro-5-[methoxy[3-[methyl(phenylmethyl)amino]propoxy]phosphinyl]-2,6-dimethyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)



L4 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:23995 CAPLUS

DOCUMENT NUMBER: 110:23995

TITLE: Syntheses and antihypertensive activities of 1,4-dihydropyridine-5-phosphonate derivatives. III  
 AUTHOR(S): Morita, Iwao; Haruta, Yuko; Tomita, Toshio; Tsuda, Masami; Kandori, Kazuhisa; Kise, Masahiro; Kimura, Kiyoshi

CORPORATE SOURCE: Res. Lab., Nippon Shinyaku Co., Ltd., Kyoto, 601, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1987), 35(12), 4819-28

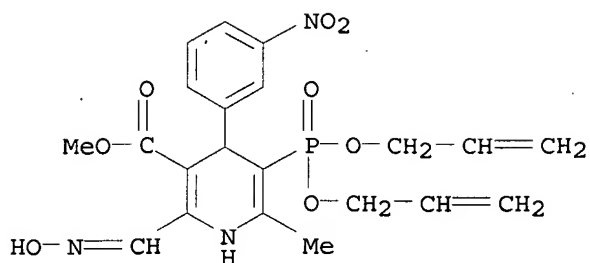
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:23995



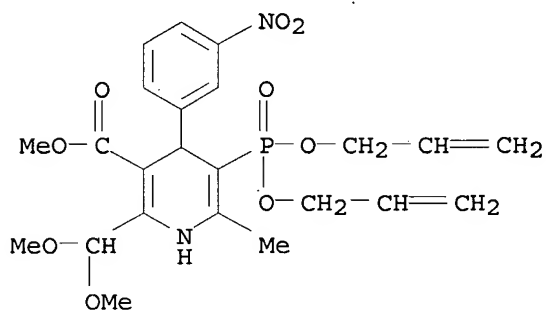


IT 115550-24-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation, deprotection, and antihypertensive activity of)

RN 115550-24-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[bis(2-propenyloxy)phosphinyl]-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(3-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



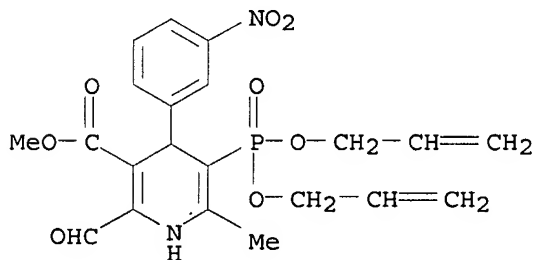
IT 115569-95-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, reduction, and antihypertensive activity of)

RN 115569-95-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[bis(2-propenyloxy)phosphinyl]-2-formyl-1,4-dihydro-6-methyl-4-(3-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:570646 CAPLUS

DOCUMENT NUMBER: 109:170646

TITLE: Preparation of phosphorus-containing  
2-amino-1,4-dihydropyridine derivatives as  
calcium-antagonistic antihypertensives and  
vasodilators

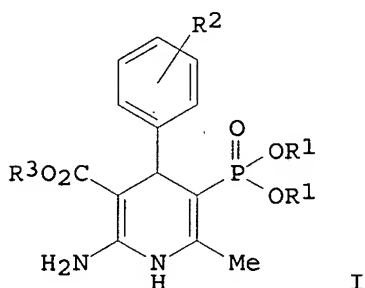
INVENTOR(S): Kimura, Kiyoshi; Kise, Masahiro; Morita, Iwao; Tsuda,

10/549,510

PATENT ASSIGNEE(S): Masami  
 SOURCE: Nippon Shinyaku Co., Ltd., Japan  
 Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63115889	A	19880520	JP 1986-261586	19861031
PRIORITY APPLN. INFO.:			JP 1986-261586	19861031
OTHER SOURCE(S):		CASREACT 109:170646; MARPAT 109:170646		

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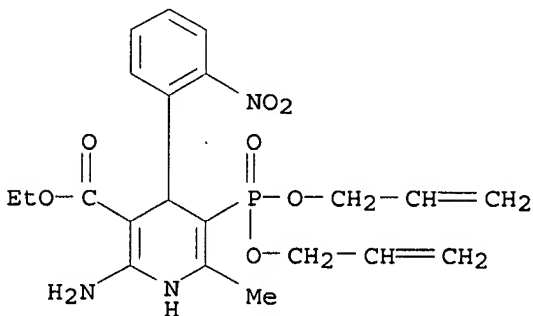


AB The title derivs. I [R1 = alkenyl; R1R1 = (CH2)3; R2 = NO2, CF3, halo; R3 = lower alkyl] and their pharmacol. acceptable salts are prepared EtOH solution of EtONa was added to EtOH solution of 2-[1-(2-nitrobenzylidene)acetonyl]-2-oxo-1,3,2-dioxaphosphorinane (1.55 g) and H2NC(:NH)CH2CO2Et.HCl (0.833 g) under stirring at 0° and the reaction mixture was refluxed for 6 h to give 0.92 g I [R1R1 = (CH2)3, R2 = 2-NO2, R3 = Et] which was tested for spontaneously hypertensive rats to show ED30 of 0.9 mg/kg p.o., vs. 1.5 mg/kg p.o. for nifedipine.

IT 116796-71-5P 116796-72-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as calcium-antagonistic antihypertensive and vasodilator)

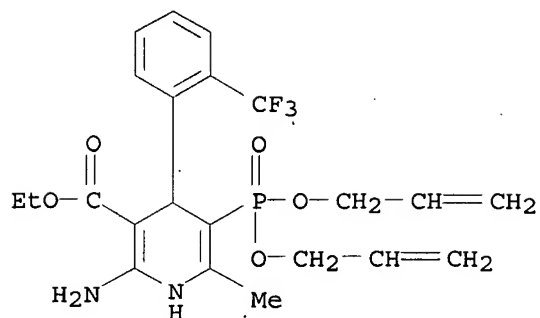
RN 116796-71-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-amino-5-[bis(2-propenyloxy)phosphinyl]-1,4-dihydro-6-methyl-4-(2-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 116796-72-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-amino-5-[bis(2-propenyloxy)phosphinyl]-1,4-dihydro-6-methyl-4-[2-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:466891 CAPLUS

DOCUMENT NUMBER: 109:66891

TITLE: Preparation of 2-substituted 1,4-dihydropyridine derivatives as antihypertensives

INVENTOR(S): Kimura, Kiyoshi; Kise, Masahiro; Morita, Iwao; Tomita, Toshio; Tsuda, Masami

PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3736687	A1	19880511	DE 1987-3736687	19871029
JP 63115890	A	19880520	JP 1986-261587	19861031
GB 2196631	A	19880505	GB 1987-24868	19871023
GB 2196631	B	19900711		
FR 2606019	A1	19880506	FR 1987-14928	19871028
FR 2606019	B1	19910531		
US 4857515	A	19890815	US 1987-115170	19871030
			JP 1986-261587	A 19861031

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): CASREACT 109:66891; MARPAT 109:66891

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R1 = alkenyl, alkyl; or R1R1 = (CH<sub>2</sub>)<sub>3</sub>; R2 = NO<sub>2</sub>, CF<sub>3</sub>, halo; R3 = lower alkyl; R4 = (MeO)<sub>2</sub>CH, HCO, HOCH<sub>2</sub>, CN] are prepared as Ca<sup>2+</sup> antagonists, hypotensives, and vasodilators for treatment and prophylaxis of circulatory diseases. Me 3-amino-4-dimethoxycrotonate underwent cyclocondensation with 2-[1-(2-nitrobenzylidene)acetyl]-2-oxo-1,3,2-dioxaphosphorinane in refluxing MeCN to form I [R1R1 = (CH<sub>2</sub>)<sub>3</sub>, R2 = 2-NO<sub>2</sub>, R3 = Me, R4 = (MeO)<sub>2</sub>CH, which was hydrolyzed with HCl in Me<sub>2</sub>CO to the 2-formyl derivative and then converted via the oxime to the 2-cyano derivative

(II). II at 1.6 mg/kg orally decreased the blood pressure in spontaneously hypertensive rats by 30%.

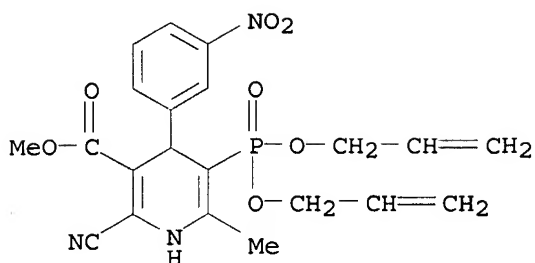
IT 115550-24-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of, in antihypertensive preparation)

RN 115550-24-8 CAPLUS

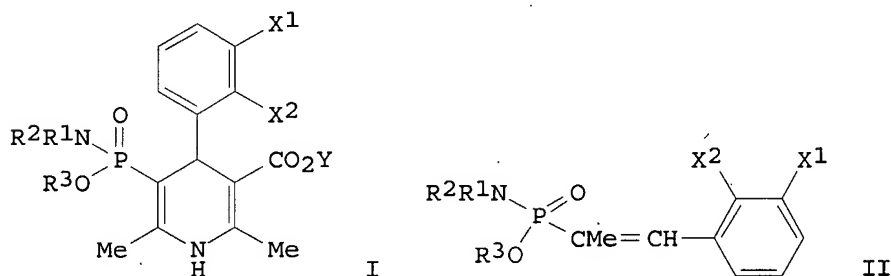
CN 3-Pyridinecarboxylic acid, 5-[bis(2-propenyloxy)phosphinyl]-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(3-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:167687 CAPLUS  
 DOCUMENT NUMBER: 108:167687  
 TITLE: Preparation of dihydropyridine-5-phosphonamidic acid derivatives for treatment of circulation disorders  
 INVENTOR(S): Kamikawaji, Masumasa; Seto, Kyotomo; Sakota, Ryoza; Tanaka, Sakuya  
 PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62195392	A	19870828	JP 1986-36402	19860220
JP 06015553	B	19940302		
PRIORITY APPLN. INFO.:			JP 1986-36402	19860220

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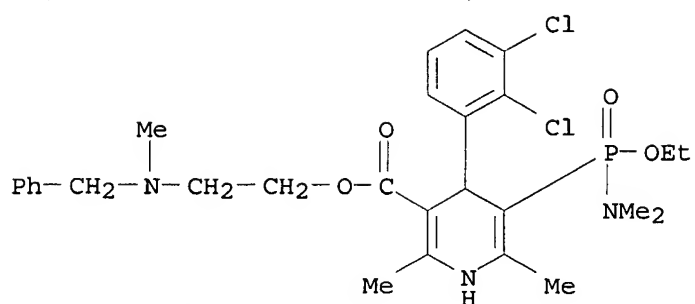


AB The title compds. [I; R1, R2 = H, C1-6 alkyl, R1R2 = alkyl-substituted 1,4-butylene; R3 = C1-10 alkyl, R2R3 = alkyl substituted (CH2)2-3; X1, X2 = H, NO2, CF3, alkyl, (halo)alkyl, F, Cl; Y = C1-4 alkyl, diphenyl- or dialkylaminoethyl, etc.] are prepared Refluxing a solution of styrene derivative

II [R1 = R2 = Me, R3 = Et, X1 = Cl, X2 = H) and H2NCMe:CHCO2CH2CH2N(CH2Ph)Me in MePh gave 81% I (R1 = R2 = Me, R3 = Et, X1 = H, X2 = Cl, Y = CH2CH2N(CH2Ph)Me], which was converted to its HCl salt (III) to show pID50 of 7.4 as Ca antagonist and ED30 of 0.26 as hypotensive. A capsule formula was prepared from III 5, corn starch 145, microcryst. cellulose 145, and Mg stearate 5 g (for 1000 capsules).

IT 113954-80-6P 113954-81-7P 113954-82-8P  
 113954-83-9P 113954-84-0P 113954-85-1P  
 113954-86-2P 113954-87-3P 113954-88-4P

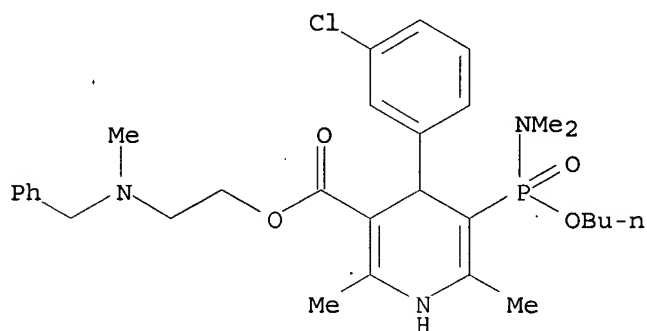
10/549,510



RN 113979-08-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[butoxy(dimethylamino)phosphinyl]-4-(3-chlorophenyl)-1,4-dihydro-2,6-dimethyl-, 2-[methyl(phenylmethyl)amino]ethyl ester, (-)- (CA INDEX NAME)

Rotation (-).



L4 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:31312 CAPLUS

DOCUMENT NUMBER: 108:31312

TITLE: Synthesis and antihypertensive activities of 1,4-dihydropyridine-5-phosphonate derivatives. I  
AUTHOR(S): Morita, Iwao; Tada, Shinichi; Kunimoto, Katsutoshi; Tsuda, Masami; Kise, Masahiro; Kimura, Kiyoshi  
CORPORATE SOURCE: Res. Lab., Nippon Shinyaku Co., Ltd., Kyoto, 601, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1987), 35(9), 3898-904

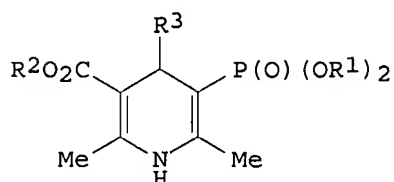
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

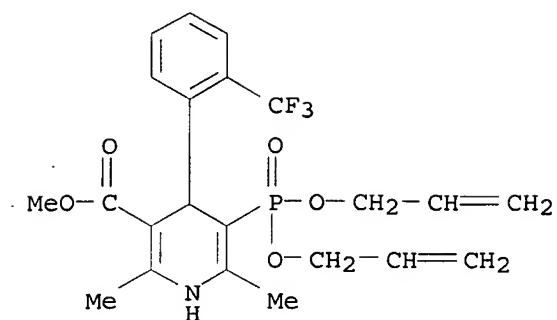
LANGUAGE: English

OTHER SOURCE(S): CASREACT 108:31312

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L4 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:214127 CAPLUS

DOCUMENT NUMBER: 106:214127

TITLE: Phosphonopyridines and their 1,4-dihydro derivatives as calcium antagonists, and a process for their preparation

INVENTOR(S): Gandolfi, Carmelo A.; Frigerio, Marco; Spinelli, Silvano; Riva, Carlo; Tofanetti, Odoardo; Tognella, Sergio

PATENT ASSIGNEE(S): Boehringer Biochemia Robin S.p.A., Italy

SOURCE: Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW

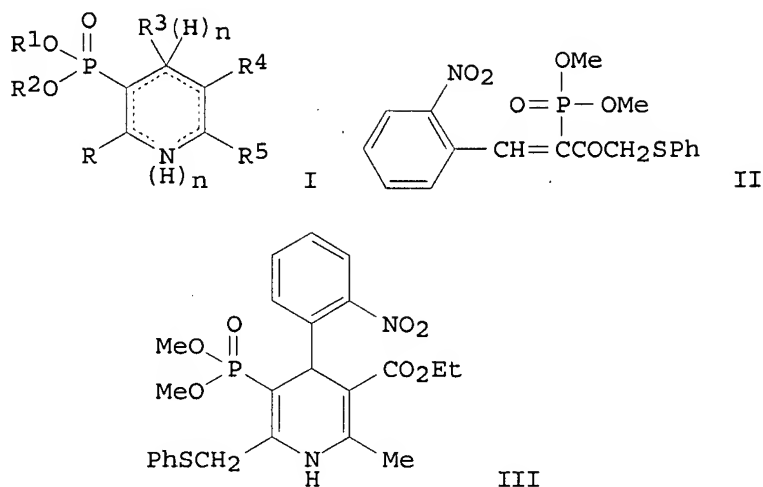
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 210571	A1	19870204	EP 1986-110013	19860721
EP 210571	B1	19900530		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 53216	T	19900615	AT 1986-110013	19860721
PRIORITY APPLN. INFO.:			IT 1985-21818	A 19850801
			EP 1986-110013	A 19860721
OTHER SOURCE(S):		MARPAT 106:214127		
GI				



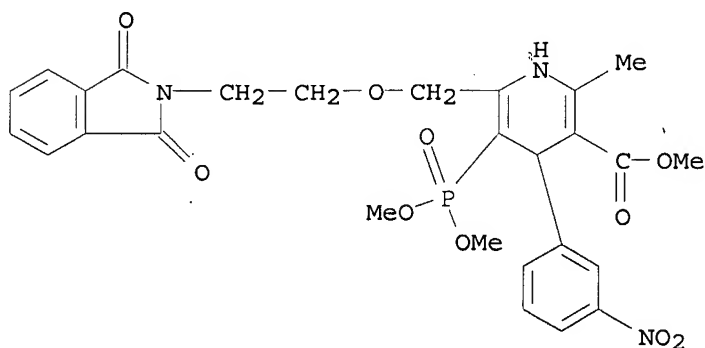
AB Title compds. I [ $n = 0$  (aromatic ring), 1 (1,4-dihydropyridine ring); R = (un)substituted alkyl; R1, R2 = H, alkyl, Ph, PhCH<sub>2</sub>; R3 = bicyclic ring (e.g., naphthyl,  $\alpha$ -benzofuroxanyl), heterocyclyl, (un)substituted Ph; R4 = Ac, Bz, cyano, NO<sub>2</sub>, (un)substituted CONH<sub>2</sub>, CO<sub>2</sub>H, Ph; R5 = alkyl, Ph, PhCH<sub>2</sub>; R  $\neq$  alkyl when  $n = 1$  and R4 = carboxy ester group] are prepared as Ca antagonists (no data). A mixture of phosphonate (Z/E)-II (preparation given), Me(H<sub>2</sub>N)C:CHCO<sub>2</sub>Et, and HCl catalyst in EtOH was refluxed for 3 h under N to give (nitrophenyl)dihydropyridinephosphonate III.

IT 107347-12-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrazinolysis of)

RN 107347-12-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]methyl]-5-(dimethoxyphosphinyl)-1,4-dihydro-2-methyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)

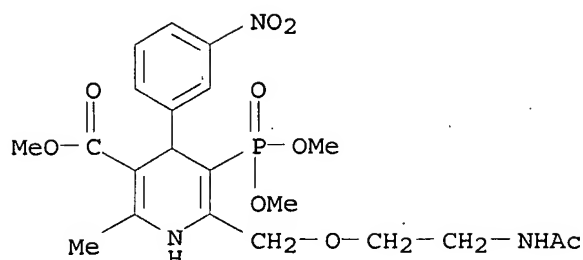


IT 95242-45-8P 98399-25-8P 98399-27-0P  
102065-36-1P 107347-08-0P 107347-10-4P  
107347-13-7P 107347-14-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as calcium antagonist)

RN 95242-45-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)



L4 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:102546 CAPLUS

DOCUMENT NUMBER: 106:102546

TITLE: Dihydropyridine-5-phosphonic acid diamide derivatives

INVENTOR(S): Kamikawaji, Masuaki; Seto, Kiyotomo; Sakota, Ryoza; Tanaka, Sakuya

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

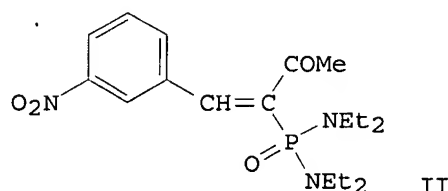
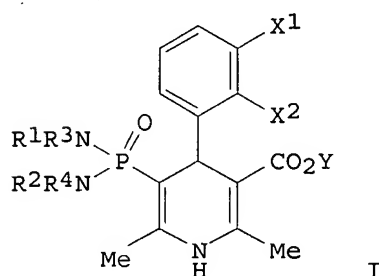
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61210092	A	19860918	JP 1985-50796	19850314
JP 04053870	B	19920827		
PRIORITY APPLN. INFO.:			JP 1985-50796	19850314
GI				



AB The title compds. I (R1, R2 = C1-4 alkyl; R3, R4 = C1-4 alkyl, R3R4 = alkylene; X1, X2 = H, NO2, halo, CF3; Y = C1-4 alkyl, PhCH2NMeCH2CH2, etc.), effective vasodilators for treating hypertension, etc., at 0.001-100 mg/kg orally, are prepared. Thus, refluxing a mixture of 1.1 g II and 0.9 g H2NCMe:CHCO2CH2CH2NMeCH2Ph in MePh to give 37% I (R1-4 = Et, X1 = NO2, X2 = H, Y = PhCH2NMeCH2CH2), which (1.0 g as HCl salt) was mixed, in a powder formulation, with lactose 88.0, microcryst. cellulose 10.0, and methylcellulose 1.0 g.

IT 106937-00-2P 106937-01-3P 106937-02-4P

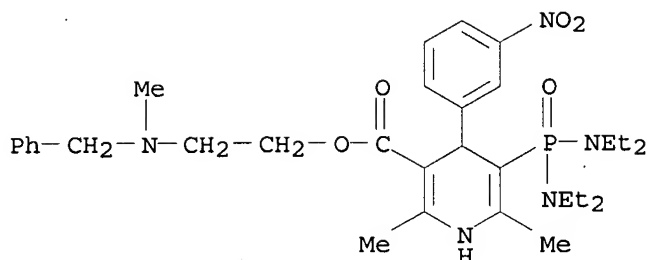
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as vasodilator)

RN 106937-00-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[bis(diethylamino)phosphinyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)

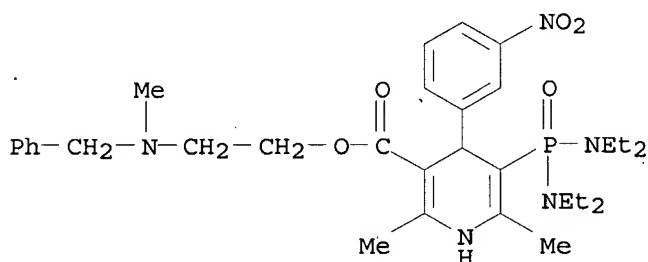


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RN 106937-01-3 CAPLUS

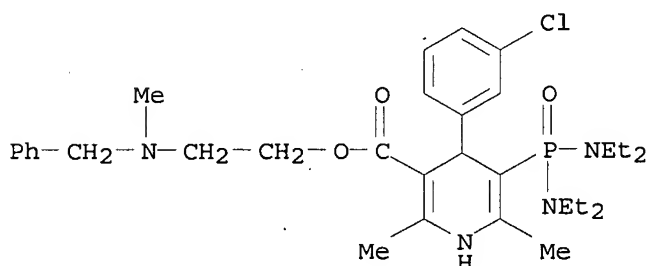
CN 3-Pyridinecarboxylic acid, 5-[bis(diethylamino)phosphinyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 106937-02-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[bis(diethylamino)phosphinyl]-4-(3-chlorophenyl)-1,4-dihydro-2,6-dimethyl-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



L4 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:534148 CAPLUS

DOCUMENT NUMBER: 105:134148

ORIGINAL REFERENCE NO.: 105:21657a, 21660a

TITLE: Pyridylphosphonates

INVENTOR(S): Kimura, Kiyoshi; Morita, Iwao

PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan

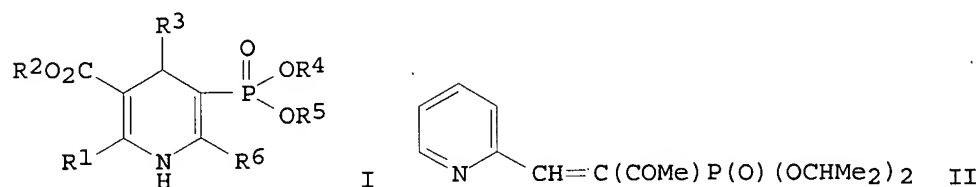
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

10/549,510

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61063689	A	19860401	JP 1984-184936	19840903
PRIORITY APPLN. INFO.:			JP 1984-184936	19840903
OTHER SOURCE(S):	CASREACT 105:134148			
GI				



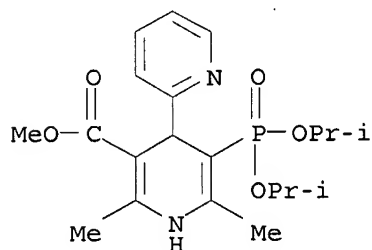
AB The title compds. (I; R1 = alkyl; R2 = H, saturated or unsatd. hydrocarbon residue; R3 = heterocyclic; R4, R5 = H, alkyl, alkenyl, R4R5 = ring-forming radical; R6 = alkyl), effective vasodilators at 10-5 g in vitro and hypotensives at 30 mg/kg orally in rats, are prepared Thus, refluxing 2.5 g II and 0.92 g Me 3-aminocrotonate in Me2CHOH gave 1.96 g I (R1 = R2 = R6 = Me, R3 = 2-pyridyl, R4 = R5 = Me2CH).

IT 104245-96-7P 104245-97-8P 104245-98-9P  
 104245-99-0P 104246-00-6P 104246-01-7P  
 104246-02-8P 104246-03-9P 104246-04-0P  
 104246-05-1P 104246-06-2P 104246-07-3P  
 104246-09-5P 104246-10-8P 104246-11-9P  
 104246-13-1P 104246-14-2P 104246-16-4P  
 104270-30-6P 104270-31-7P 104270-32-8P  
 104270-33-9P 104270-34-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as vasodilator and hypotensive)

RN 104245-96-7 CAPLUS

CN [2,4'-Bipyridine]-3'-carboxylic acid, 5'-[bis(1-methylethoxy)phosphinyl]-1',4'-dihydro-2',6'-dimethyl-, methyl ester (CA INDEX NAME)



RN 104245-97-8 CAPLUS

CN [2,4'-Bipyridine]-3'-carboxylic acid, 5'-[bis(1-methylethoxy)phosphinyl]-1',4'-dihydro-2',6'-dimethyl-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)

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L4 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:479162 CAPLUS

DOCUMENT NUMBER: 105:79162

ORIGINAL REFERENCE NO.: 105:12853a,12856a

TITLE: Dihydropyridine-5-phosphonic acid monoesters

INVENTOR(S): Seto, Kiyotomo; Tanaka, Sakuya; Sakota, Ryoza

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

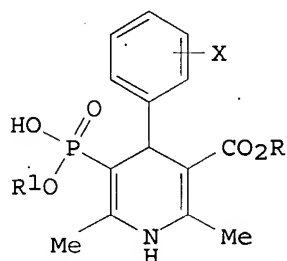
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

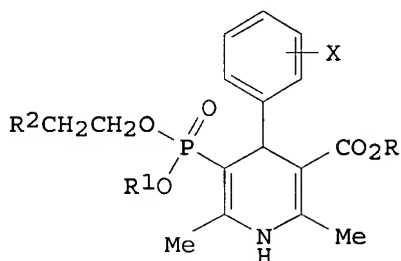
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61027995	A	19860207	JP 1984-148979	19840718
JP 04060477	B	19920928		
PRIORITY APPLN. INFO.: GI			JP 1984-148979	19840718



I



II

AB The title esters (I; R = C1-6 alkyl, CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, etc.; R<sub>1</sub> = C1-16 alkyl; X = H, NO<sub>2</sub>, CF<sub>3</sub>, halo), effective antihypertensives at 1.4 mg/kg in rats and Ca antagonists at 2.5 + 10<sup>-6</sup> M in guinea pigs, were prepared by base-catalyzed hydrolysis of II (R<sub>2</sub> = cyano, NO<sub>2</sub>, halo). Thus, an aqueous solution of NaOH was added to a solution of 3.5 g II (R = R<sub>1</sub> = Me, R<sub>2</sub> = cyano,

X = 2-Cl) in EtOH at room temperature to give 90% I (R = R<sub>1</sub> = Me, X = 2-Cl). A capsule formulation consisted of I 5, corn starch 145, microcryst. cellulose 145, and Mg stearate 5 g (for 1000 capsules).

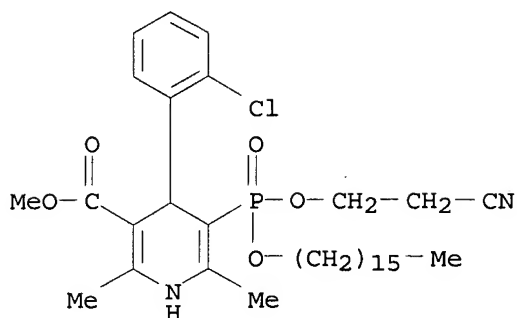
IT 103763-89-9 103763-90-2 103763-91-3  
103763-92-4 103763-93-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydrolysis of)

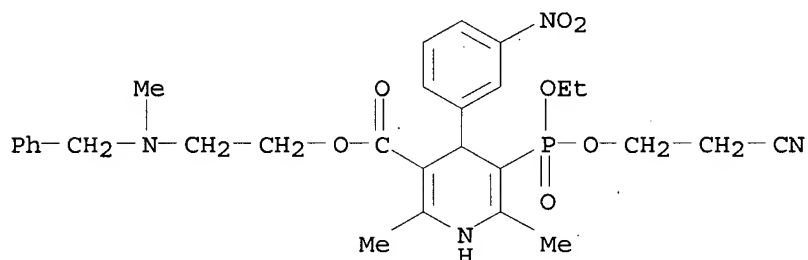
RN 103763-89-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-5-[(2-cyanoethoxy)methoxyphosphinyl]-1,4-dihydro-2,6-dimethyl-, methyl ester  
(CA INDEX NAME)

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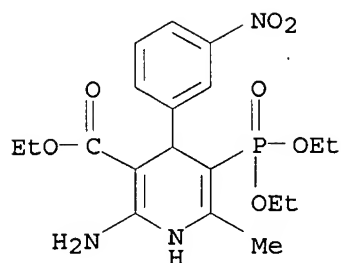
RN 103763-93-5 CAPLUS  
CN 3-Pyridinecarboxylic acid, 5-[(2-cyanoethoxy)ethoxyphosphinyl]-1,4-dihydro-  
2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester  
(CA INDEX NAME)



L4 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1986:443089 CAPLUS  
DOCUMENT NUMBER: 105:43089  
ORIGINAL REFERENCE NO.: 105:7145a,7148a  
TITLE: Dihydropyridine-2-amino-5-phosphate derivatives  
INVENTOR(S): Seto, Kiyotomo; Tanaka, Sakuya; Sakota, Ryoza  
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

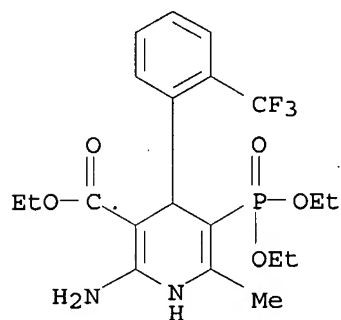
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61037793	A	19860222	JP 1984-159178	19840731
JP 04047678	B	19920804		
PRIORITY APPLN. INFO.:			JP 1984-159178	19840731
OTHER SOURCE(S):		CASREACT 105:43089		
GI				

10/549,510



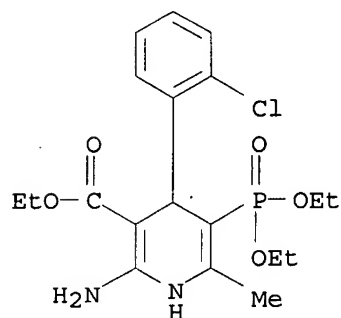
RN 102994-35-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-amino-5-(diethoxyphosphinyl)-1,4-dihydro-6-methyl-4-[2-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



RN 102994-36-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-amino-4-(2-chlorophenyl)-5-(diethoxyphosphinyl)-1,4-dihydro-6-methyl-, ethyl ester (CA INDEX NAME)



L4 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:207457 CAPLUS

DOCUMENT NUMBER: 104:207457

ORIGINAL REFERENCE NO.: 104:32893a,32896a

TITLE: Dihydropyridine derivatives

INVENTOR(S): Tsuda, Yoshiaki

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan

SOURCE: Jpn. Kokai Tokyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

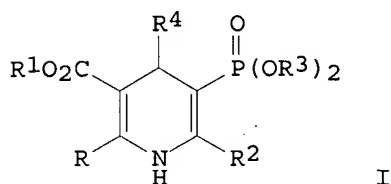
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/549,510

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60258194	A	19851220	JP 1984-113718	19840601
PRIORITY APPLN. INFO.: GI			JP 1984-113718	19840601

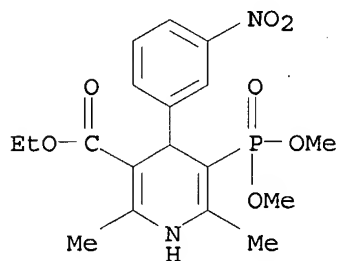


AB Dihydropyridinephosphonate derivs. I (R, R1, R2, R3 = alkyl; R4 = naphthyl, Ph mono-, di-, or trisubstituted by nitro, halo, haloalkyl, OH, or cyano), useful as vasodilators, were prepared Thus, refluxing a mixture of 1.6 g m-O2NC6H4CHO, 1.3 g Me(H2N)C:CHCO2Et, 1.7 g MeCOCH2P(O)(OMe)2, and 10 mL Me2CHOH for 20 h gave I (R = R2 = R3 = Me, R1 = Et, R4 = 3-nitrophenyl).

IT 102065-36-1P 102065-37-2P 102065-38-3P  
 102065-39-4P 102065-40-7P 102065-41-8P  
 102065-42-9P 102065-43-0P 102065-44-1P  
 102065-45-2P 102065-46-3P 102065-47-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as vasodilator)

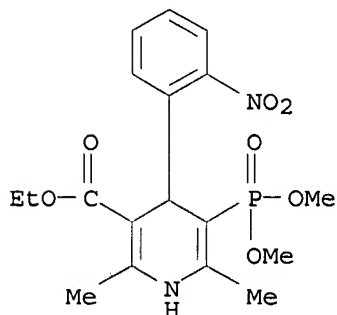
RN 102065-36-1 CAPLUS

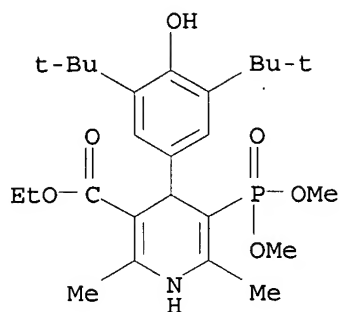
CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, ethyl ester (CA INDEX NAME)



RN 102065-37-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(2-nitrophenyl)-, ethyl ester (CA INDEX NAME)





L4 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1985:578452 CAPLUS

DOCUMENT NUMBER: 103:178452

ORIGINAL REFERENCE NO.: 103:28727a,28730a

TITLE: 1,4-Dihydropyridine-5-phosphonic acid ester

INVENTOR(S): Seto, Kiyotomo; Tanaka, Sakuya; Sakoda, Ryoza

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 34 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

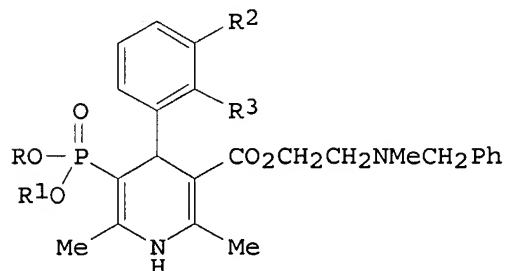
FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 141221	A1	19850515	EP 1984-111185	19840919
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 60069089	A	19850419	JP 1983-177710	19830926
JP 03079359	B	19911218		
JP 61030591	A	19860212	JP 1984-151782	19840720
JP 04060478	B	19920928		
PRIORITY APPLN. INFO.:			JP 1983-177710	A 19830926
			JP 1984-151782	A 19840720

OTHER SOURCE(S): MARPAT 103:178452

GI

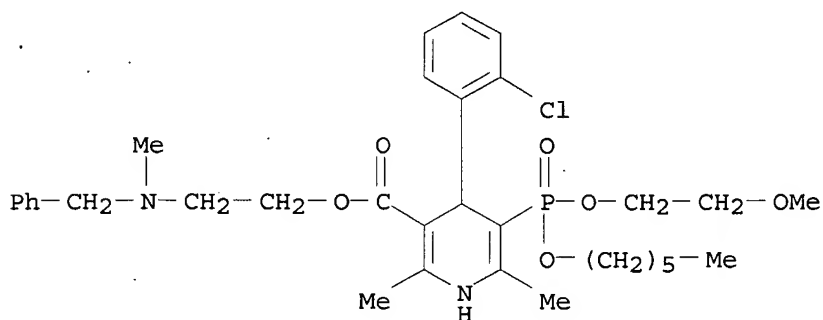


I

AB The antihypertensive and vasodilator title compds. I (R, R1 = CH2CH2OMe, C1-10 alkyl; R2 = H, Cl, NO2, CF3; R3 = H, Cl, CF3) were prepared Thus, H2NCMe:CHCO2CH2CH2NMeCH2Ph underwent cyclocondensation with (EtO)2P(O)C(OMe):CHC6H4CF3-3, to give I (R = R1 = Et; R2 = CF3, R3 = H) (II). II was antihypertensive, with an ED30 of 0.13 mg/kg in spontaneously hypertensive rats. II was also a calcium antagonist in vitro.

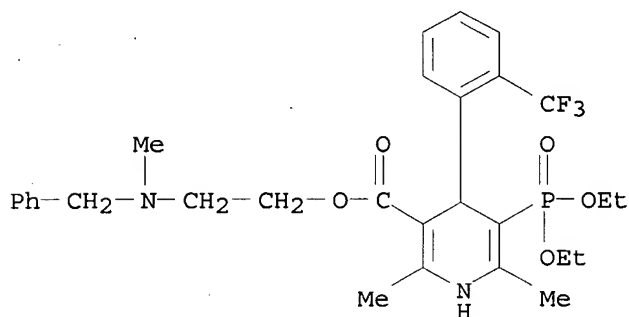
10/549,510

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-5-[(hexyloxy)(2-methoxyethoxy)phosphinyl]-1,4-dihydro-2,6-dimethyl-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



RN 98907-65-4 CAPLUS

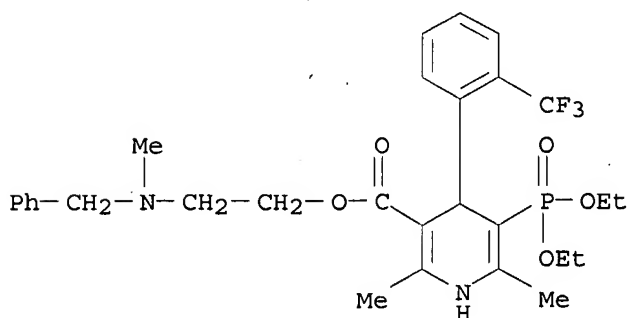
CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-[2-(trifluoromethyl)phenyl]-, 2-[methyl(phenylmethyl)amino]ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 98907-66-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-[2-(trifluoromethyl)phenyl]-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



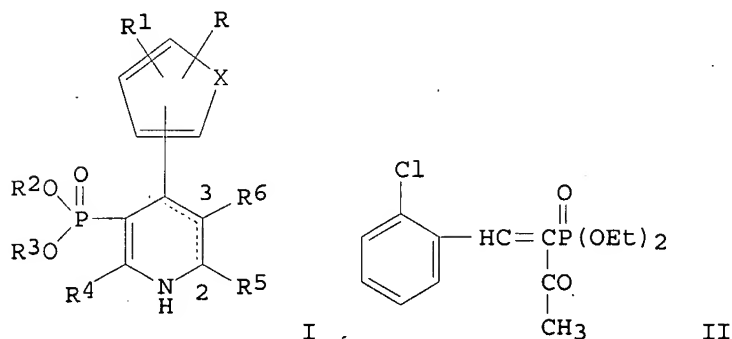


10/549,510

ACCESSION NUMBER: 1985:542188 CAPLUS  
 DOCUMENT NUMBER: 103:142188  
 ORIGINAL REFERENCE NO.: 103:22779a,22782a  
 TITLE: Dihydropyridine-5-phosphonate derivatives  
 PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

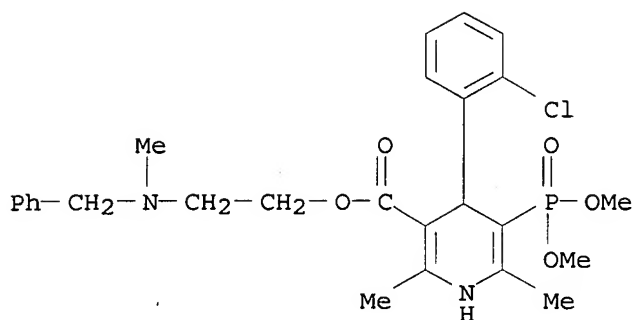
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60069089	A	19850419	JP 1983-177710	19830926
JP 03079359	B	19911218		
EP 141221	A1	19850515	EP 1984-111185	19840919
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
EP 141222	A1	19850515	EP 1984-111187	19840919
EP 141222	B1	19890412		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 42105	T	19890415	AT 1984-111187	19840919
CA 1339372	C	19970826	CA 1984-463611	19840919
US 4576934	A	19860318	US 1984-654473	19840926
US 4839361	A	19890613	US 1985-792981	19851030
PRIORITY APPLN. INFO.:			JP 1983-177710	A 19830926
			JP 1984-151782	A 19840720
			JP 1984-163649	A 19840803
			EP 1984-111187	A 19840919
			US 1984-654473	A2 19840926

GI



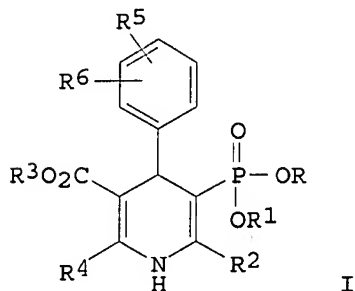
AB The title phosphonates I (R, R1 = H, O2N, CF3, halo, HO, cyano, etc.; R2, R3 = alkyl, alkenyl, aryl, aralkyl, etc.; R4, R5 = aryl, styryl; X = O, S, CH:CH, CH:N; R6 = alkoxy carbonyl, etc.), effective Ca antagonists at 0.001-100 mg/kg orally, diuretics at 5-20 mg/kg, and hypotensives at 5-50 mg/kg, were prepared. Thus, a solution of 2.2 g II and 1.1 g Me 3-aminocrotonate in C6H6 was refluxed 38 h to give 55% I (2,3-unsatd., R = H, R1 = 2-Cl, R2 = R3 = Et, R4 = R5 = Me, R6 = MeO2C, X = CH:CH).

IT 98371-12-1P 98371-13-2P 98371-14-3P  
 98371-15-4P 98371-16-5P 98371-17-6P  
 98371-18-7P 98371-19-8P 98398-80-2P  
 98398-81-3P 98398-82-4P 98398-83-5P  
 98398-84-6P 98398-85-7P 98399-08-7P  
 98399-09-8P 98399-10-1P 98399-11-2P



L4 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1985:132271 CAPLUS  
 DOCUMENT NUMBER: 102:132271  
 ORIGINAL REFERENCE NO.: 102:20767a,20770a  
 TITLE: Dihydropyridyl phosphate derivatives  
 PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59161392	A	19840912	JP 1983-36211	19830304
JP 03065351	B	19911011		
GB 2140015	A	19841121	GB 1984-4386	19840220
GB 2140015	B	19870729		
EP 121117	A1	19841010	EP 1984-102249	19840302
EP 121117	B1	19890830		
R: CH, DE, FR, IT, LI, NL, SE				
ES 530248	A1	19850516	ES 1984-530248	19840302
US 4535073	A	19850813	US 1984-585574	19840302
CA 1254206	A1	19890516	CA 1984-448718	19840302
ES 537717	A1	19860101	ES 1984-537717	19841116
PRIORITY APPLN. INFO.:			JP 1983-36211	A 19830304
OTHER SOURCE(S):	CASREACT 102:132271; MARPAT 102:132271			
GI				



AB The title phosphate derivs. I (R, R1 = H, hydrocarbons, tetrahydrofurfuryl; R2 = alkyl; R3 = alkoxy, aryloxy, aralkoxy, etc.; R4 = alkyl; R5, R6 = H, NO2, cyano, CF3, etc.) (.apprx.180 compds.) were prepared

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by, e.g., reaction of  $R_5R_6C_6H_3CH:C(COR_2)P(O)(OR)(OR_1)$  (II) with  $H_2NCR_4:CHCO_2R_3$  (III). I were coronary vasodilators and hypotensives, with  $LD_{50} > 400$  mg/kg (p.o.). Thus, a mixture of 1.85 g II ( $R - R_2 = Me$ ,  $R_5 = 3-NO_2$ ,  $R_6 = H$ ) and 0.75 g III ( $R_3 = R_4 = Me$ ) in  $Me_2CHOH$  was refluxed 4 h to give 42% I ( $R-R_4 = Me$ ,  $R_5 = 3-NO_2$ ,  $R_6 = H$ ).

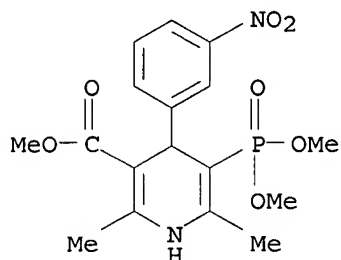
IT 95242-45-8P 95242-46-9P 95242-47-0P

95242-48-1P 95242-49-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

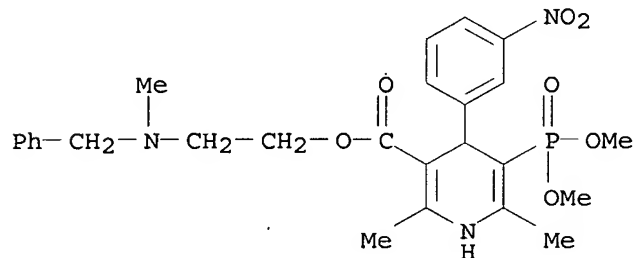
RN 95242-45-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)



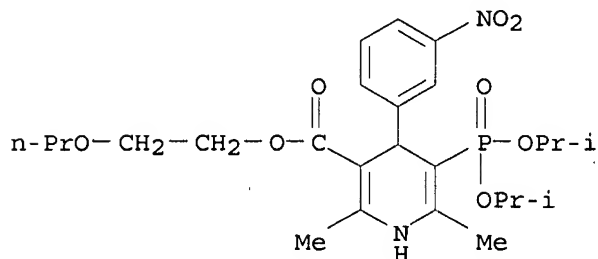
RN 95242-46-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(dimethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



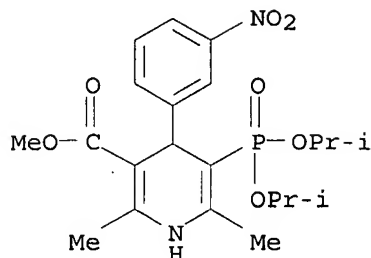
RN 95242-47-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[bis(1-methylethoxy)phosphinyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-propoxyethyl ester (CA INDEX NAME)



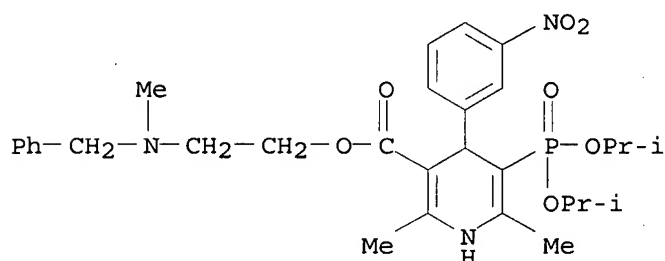
RN 95242-48-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[bis(1-methylethoxy)phosphinyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl ester (CA INDEX NAME)



RN 95242-49-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[bis(1-methylethoxy)phosphinyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)



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ACCESSION NUMBER: 1976:446818 CAPLUS

DOCUMENT NUMBER: 85:46818

ORIGINAL REFERENCE NO.: 85:7619a,7622a

TITLE: Contributions to the reaction behavior of oxoalkanephosphonic acid dialkyl esters

AUTHOR(S): Issleib, K.; Wolff, R.; Lengies, M.

CORPORATE SOURCE: Sek. Chem., Martin-Luther-Univ., Halle/Saale, Ger. Dem. Rep.

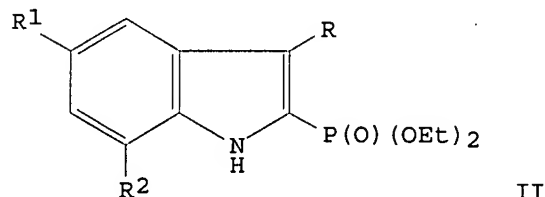
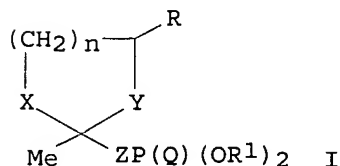
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1976), 318(2), 207-20

CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

LANGUAGE: German

GI



AB AcZP(Q)(OR<sub>1</sub>)<sub>2</sub> cyclized with diols and thiodiols to give 8-26.3% 19 cyclic ketals and thioketals I (X, Y, Q = O, S; Z = CH<sub>2</sub>, CH<sub>2</sub>CHPh; n = 1, 2; R = H, Me, CH<sub>2</sub>Cl; R<sub>1</sub> = Et, Bu). The condensation of benzenediazonium chlorides 2,4-R<sub>2</sub>R<sub>1</sub>C<sub>6</sub>H<sub>3</sub>N<sub>2</sub>+Cl<sup>-</sup> with RCH<sub>2</sub>CHAcP(O)(OEt)<sub>2</sub> gave arylhydrazones, 2,4-R<sub>2</sub>R<sub>1</sub>C<sub>6</sub>H<sub>3</sub>NHN:C(CH<sub>2</sub>R)P(O)(OEt)<sub>2</sub>, which cyclized to give 2.4-23.1% 12 indolephosphonates II (R = Ph, p-tolyl, p-anisyl, p-ClC<sub>6</sub>H<sub>4</sub>, Me; R<sub>1</sub> = H, MeO, O<sub>2</sub>N, Me, Cl, CO<sub>2</sub>Me, NH<sub>2</sub>; R<sub>2</sub> = H, Cl).

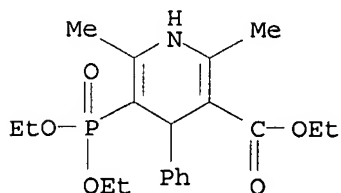
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IT 59823-27-7P 59823-28-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

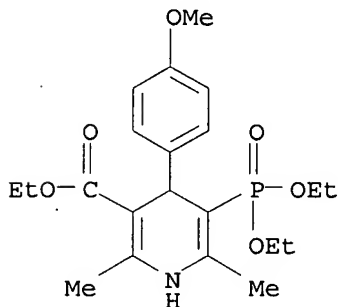
RN 59823-27-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-2,6-dimethyl-4-phenyl-, ethyl ester (CA INDEX NAME)



RN 59823-28-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(diethoxyphosphinyl)-1,4-dihydro-4-(4-methoxyphenyl)-2,6-dimethyl-, ethyl ester (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 10:29:49 ON 18 JAN 2008)

FILE 'REGISTRY' ENTERED AT 10:30:06 ON 18 JAN 2008

L1 STRUCTURE UPLOADED

L2 15 S L1

L3 278 S L1 FULL

FILE 'CAPLUS' ENTERED AT 10:30:41 ON 18 JAN 2008

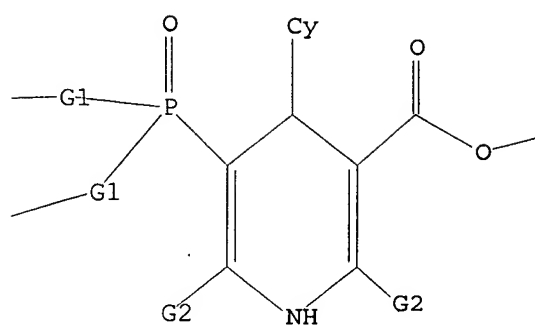
L4 26 S L3

=> d l1

L1 HAS NO ANSWERS

L1 STR

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G1 O,N

G2 C,N,CN

Structure attributes must be viewed using STN Express query preparation.

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